EUROPEAN PATENT OFFICE

Patent Abstracts of Jap[redacted]

PUBLICATION NUMBER : 10114757
PUBLICATION DATE : 06-05-98

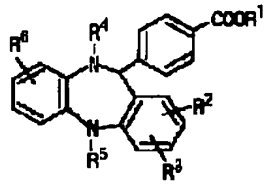
APPLICATION DATE : 11-10-96
APPLICATION NUMBER : 08269649

APPLICANT : SHUDO KOICHI;

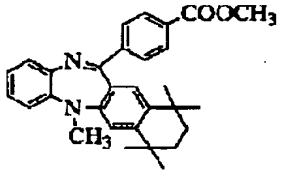
INVENTOR : SHUDO KOICHI;

INT.CL. : C07D243/38 A61K 31/55 A61K 31/55
A61K 31/55 A61K 31/55 A61K 31/55
A61K 31/55 A61K 31/55 A61K 31/55

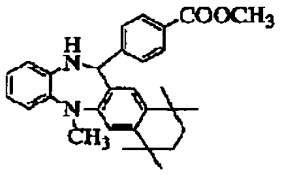
TITLE : RETINOID ANTAGONIST



I



II



III

ABSTRACT : PROBLEM TO BE SOLVED: To obtain a new compound, having properties as an antagonist to a retinoid such as retinoic acid and useful for preventing and treating diseases such as A-hypervitaminosis, cancer or diabetes.

SOLUTION: This compound is represented by formula I [R^1 to R^5 are each H or a 1-6C alkyl and R^2 and R^3 together may form a (1-4C alkyl-substituted)5- or 6-membered cycloalkyl ring together with C on the phenyl ring; R^6 is R^1, a 1-6C alkoxy, OH, nitro or a halogen] or its salt, e.g. 4H-[5H-10,11-dihydro-7,8(2,5-dimethyl-2,5-hexano)-5,11dimethylbenzo[b,e]diazepin10-yl]benzoic acid. The exemplified compound is obtained by reducing a compound represented by formula II, providing a compound represented by formula III, then carrying out an N-methylating reaction of the resultant compound and hydrolyzing the prepared compound.